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Chemical studies of 10-deacetyl baccatin III

Hemisynthesis of taxol derivatives

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Abstract

The chemical reactivities of 10-deacetyl baccatin III and of baccatin III, two natural products extracted from *Taxus baccata* L., were studied with the aim of synthesizing taxol analogues having a modified side-chain at C-13, thereby restoring good binding to tubulin.

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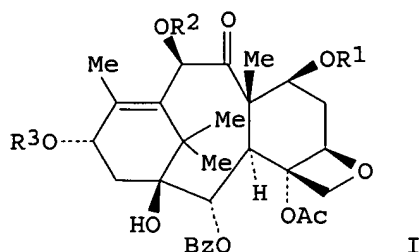
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AB The chemical reactivities of 10-deacetyl baccatin III (I; R1 = R3 = H, R2 = Ac) and of baccatin III (I; R1 = R2 = R3 = H) (II), two natural products extracted from *Taxus baccata*, were studied with the aim of synthesizing taxol analogs having a modified side-chain at C-13, thereby restoring good binding to tubulin. Thus, acetylation of II with Ac2O-pyridine 24 h at 20° gave 48 and 48% I (R1 = Ac, R2 = R3 = H; R1 = R2 = Ac, R3 = H), resp.; at 60° and 48h 49 and 49% I (R1 = R2 = Ac, R3 = H; R1 = R2 = R3 = Ac) was obtained; at 80° and 24 h 95% I (R1 = R2 = R3 = Ac) was obtained. Protected and deprotected derivs. were examined and 2 taxol derivs. were prepared

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